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=> d que

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L7         12480 SEA FILE=REGISTRY ABB=ON  PLU=ON  3068.4/RID
L10        24196 SEA FILE=REGISTRY ABB=ON  PLU=ON  2508.272/RID
L17         5723 SEA FILE=REGISTRY ABB=ON  PLU=ON  3068.33/RID
L19         5124 SEA FILE=REGISTRY ABB=ON  PLU=ON  3691.3/RID
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L21              STR
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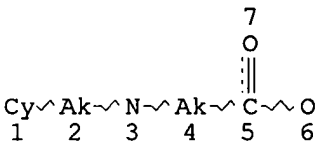


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CONNECT IS E2  RC AT   4
DEFAULT MLEVEL IS ATOM
GGCAT   IS PCY  UNS  AT   1
GGCAT   IS LOC  AT   2
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   7

STEREO ATTRIBUTES: NONE
L23          105 SEA FILE=REGISTRY SUB=L20 SSS FUL L21
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L26              STR
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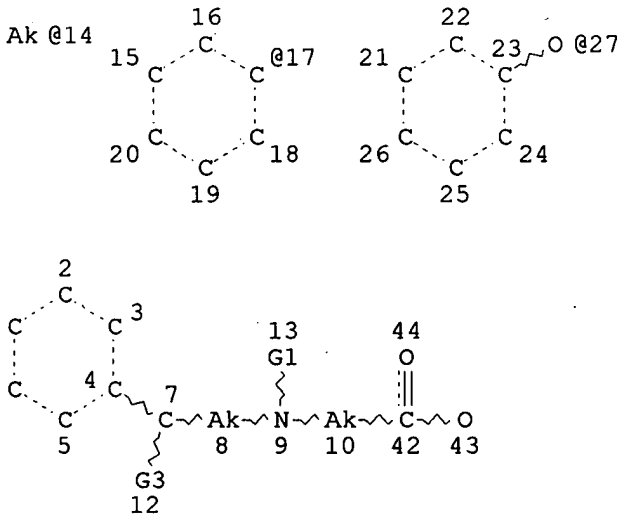
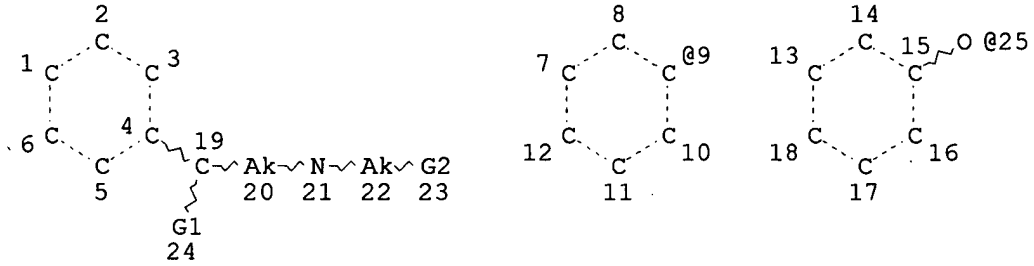
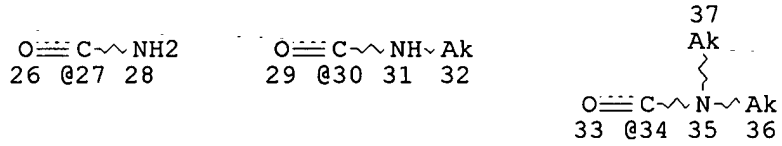


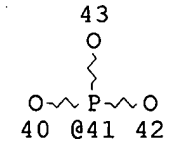
VAR G1=H/14
VAR G3=17/27
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 8
CONNECT IS E2 RC AT 10
CONNECT IS E1 RC AT 14
DEFAULT MLEVEL IS ATOM
GGCAT IS LIN LOC AT 8
GGCAT IS LIN LOC AT 10
GGCAT IS LIN LOC SAT AT 14
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 15 21 4
NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE
L27 (252) SEA FILE=REGISTRY SUB=L25 SSS FUL L26
L28 26 SEA FILE=HCAPLUS ABB=ON PLU=ON L27
L34 STR







VAR G1=9/25
VAR G2=27/30/34/41
NODE ATTRIBUTES:
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CONNECT IS E2 RC AT 20
CONNECT IS E2 RC AT 22
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CONNECT IS E1 RC AT 36
CONNECT IS E1 RC AT 37
DEFAULT MLEVEL IS ATOM
GGCAT IS LOC AT 20
GGCAT IS LOC AT 22
GGCAT IS LIN LOC SAT AT 32

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GGCAT   IS LIN  LOC  SAT  AT  37
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC   1   7  13
NUMBER OF NODES IS  41

STEREO ATTRIBUTES: NONE
L36          12 SEA FILE=REGISTRY SSS FUL L34
L37          11 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L36
L38           8 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L37 NOT (L28 OR L24)
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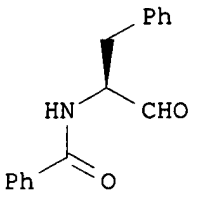
L38 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2002 ACS
AN 2001:155141 HCAPLUS
DN 134:353517
TI Solid-phase synthesis of .alpha.-hydroxy phosphonates and hydroxystatine amides. Transition-state isosteres derived from resin-bound amino acid aldehydes
AU Dolle, R. E.; Herpin, T. F.; Shimshock, Y. C.
CS Department of Chemistry, Pharmacopeia, Inc., Princeton, NJ, 08543-5350, USA
SO Tetrahedron Lett. (2001), 42(10), 1855-1858
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
GI



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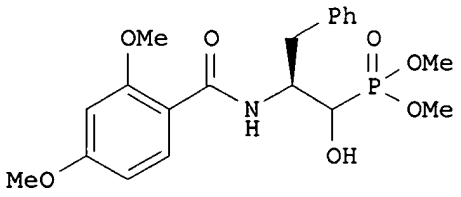
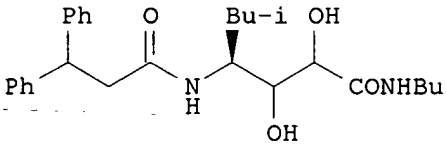


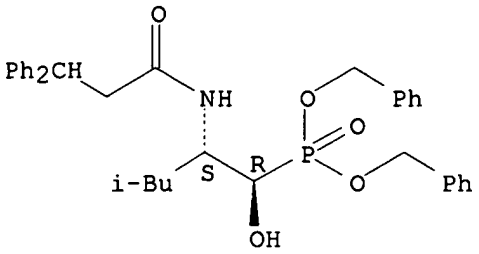
II



III

AB Resin-bound N-acylated amino acid aldehydes, e. g. I, were converted in a single step to .alpha.-hydroxy phosphonates, e. g. II, (Pudovik reaction) and in six-steps to hydroxystatine amides, e. g. III, demonstrating the utility of intermediates I for constructing multiple aspartic acid transition-state isosteres.
IT **338964-51-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of hydroxy phosphonates and hydroxystatine amides from resin-bound amino acid aldehydes)
RN 338964-51-5 HCAPLUS
CN Phosphonic acid, [(1R,2S)-1-hydroxy-4-methyl-2-[(1-oxo-3,3-diphenylpropyl)amino]pentyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

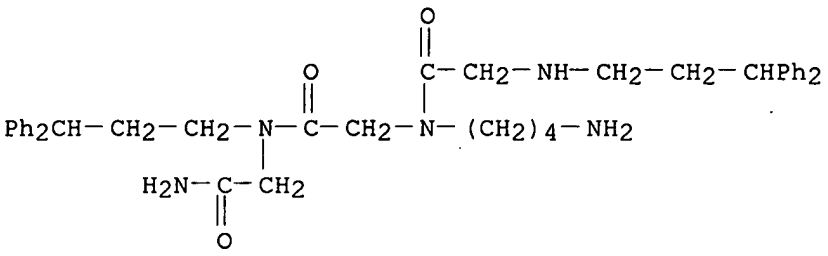
Absolute stereochemistry.



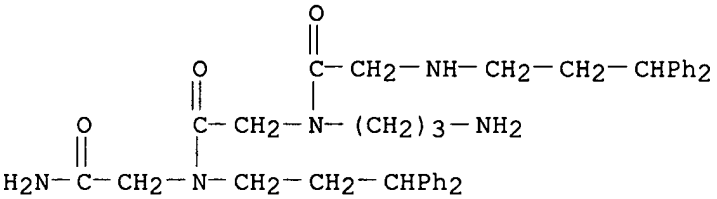
RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2002 ACS
AN 1999:171303 HCAPLUS
DN 131:19270
TI A combinatorial peptoid library for the identification of novel MSH and GRP/bombesin receptor ligands
AU Heizmann, G.; Hildebrand, P.; Tanner, H.; Ketterer, S.; Pansky, A.; Froidevaux, S.; Beglinger, C.; Eberle, A. N.
CS Department of Research (ZLF), University Hospital and University Children's Hospital, Basel, CH-4031, Switz.
SO J. Recept. Signal Transduction Res. (1999), 19(1-4), 449-466
CODEN: JRETET; ISSN: 1079-9893
PB Marcel Dekker, Inc.
DT Journal
LA English
AB A tri-peptoid library was synthesized using 69 different primary amines in initially 69 individual reactions by the mix and split approach. The resulting library consisted of 328,509 (693) single compds., divided in 69 sub-pools each contg. 4,761 entities. The 69 sub-pools were tested in two binding assays, one for .alpha.-MSH (.alpha.-melanotropin) and one for GRP (gastrin-releasing peptide)/bombesin. The sub-libraries with the highest affinity to the MSH receptor (i.e. melanocortin type 1 or MC1 receptor) and, resp., the GRP-preferring bombesin receptor were identified by an iterative process. Individual tri-peptoids with good binding activity were re-synthesized, analyzed and their dissocn. consts. and biol. activity detd. The KD of the most potent MC1 receptor ligand was 1.58 .mu.mol/l and that of the GRP-preferring bombesin receptor 3.40 .mu.mol/l. Extension of this latter tri-peptoid by one residue at the N-terminus led to the identification of a tetra-peptoid structure whose KD value increased to 280 nmol/l. A similar increase in activity was not obsd. with the most potent MSH tri-peptoid ligand when extended by one residue, but a compd. suitable for radioiodination and lacking the N-terminal amino group had a slightly higher binding activity than the tri-peptoids (KD .apprxeq. 850 nmol/l). These results demonstrate that testing a peptoid library contg. 328,509 single compds. led to the successful identification of new ligands for both the MC1 receptor as well as the GRP-preferring bombesin receptor.
IT **226218-34-4P 226218-36-6P 226218-37-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and biol. activity of as MSH and GRP/bombesin receptor ligands using combinatorial chem.)
RN 226218-34-4 HCAPLUS
CN Glycinamide, N-(3,3-diphenylpropyl)glycyl-N-(4-aminobutyl)glycyl-N2-(3,3-

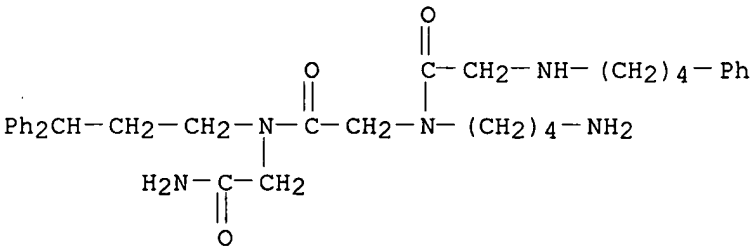
diphenylpropyl)- (9CI) (CA INDEX NAME)



RN 226218-36-6 HCAPLUS
CN Glycinamide, N-(3,3-diphenylpropyl)glycyl-N-(3-aminopropyl)glycyl-N2-(3,3-diphenylpropyl)- (9CI) (CA INDEX NAME)



RN 226218-37-7 HCAPLUS
CN Glycinamide, N-(4-phenylbutyl)glycyl-N-(4-aminobutyl)glycyl-N2-(3,3-diphenylpropyl)- (9CI) (CA INDEX NAME)



RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2002 ACS
AN 1999:152312 HCAPLUS
DN 130:196959
TI Solid-phase synthesis of N-substituted glycine peptide combinatorial libraries and nitrogen heterocycle combinatorial libraries
IN Zuckermann, Ronald N.; Goff, Dane A.; Ng, Simon; Spear, Kerry; Scott, Barbara O.; Sigmund, Aaron C.; Goldsmith, Richard A.; Marlowe, Charles K.; Pei, Yazhong; Richter, Lutz; Simon, Reyna
PA Chiron Corporation, USA
SO U.S., 50 pp., Cont.-in-part of U.S. Ser. No. 277,228, abandoned.

CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5877278	A	19990302	US 1995-487282	19950607
	JP 2000239242	A2	20000905	JP 2000-38885	19930924
	US 5831005	A	19981103	US 1995-441826	19950516
	US 5977301	A	19991102	US 1995-485106	19950607
	CA 2221517	AA	19961219	CA 1996-2221517	19960604
	WO 9640202	A1	19961219	WO 1996-US8832	19960604
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
	AU 9662534	A1	19961230	AU 1996-62534	19960604
	EP 789577	A1	19970820	EP 1996-921278	19960604
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 11507049	T2	19990622	JP 1996-501317	19960604
PRAI	US 1992-950853	B2	19920924		
	US 1993-126539	B2	19930924		
	US 1994-277228	B2	19940718		
	JP 1994-508459	A3	19930924		
	US 1995-487282	A	19950607		
	WO 1996-US8832	W	19960604		

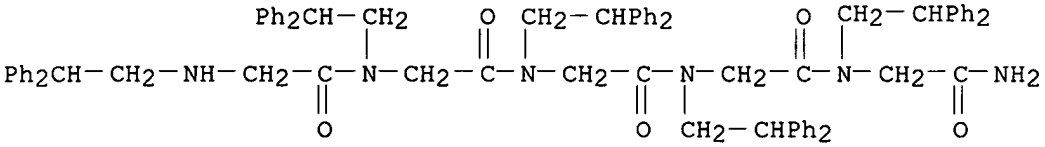
AB A solid-phase method for the synthesis of N-substituted oligomers, such as poly(N-substituted glycines) (referred to herein as poly NSGs) is used to obtain oligomers, such as poly NSGs of potential therapeutic interest which poly NSGs can have a wide variety of side chain substituents. Each N-substituted glycine monomer is assembled from two "sub-monomers" directly on the solid support. Each cycle of monomer addn. consists of two steps: (1) acylation of a secondary amine bound to the support with an acylating agent comprising a leaving group capable of nucleophilic displacement by NH2, such as a haloacetic acid, and (2) introduction of the side chain by nucleophilic displacement of the leaving group, such as halogen (as a solid support-bound .alpha.-haloacetamide) with a sufficient amt. of a second sub-monomer comprising an NH2 group, such as a primary amine, alkoxyamine, semicarbazide, acyl hydrazide, carbazate, or the like. Repetition of the two step cycle of acylation and displacement gives the desired oligomers. The efficient synthesis of a wide variety of oligomeric NSGs using automated synthesis technol. of the present method makes these oligomers attractive candidates for the generation and rapid screening of diverse peptidomimetic libraries. The oligomers of the invention, such as N-substituted glycines (i.e. poly NSGs) disclosed here provide a new class of peptide-like compds. not found in nature, but which are synthetically accessible and have been shown to possess significant biol. activity and proteolytic stability. Combinatorial libraries of cyclic compds. are disclosed wherein the cyclic compds. are comprised of at least one ring structure derived from cyclization of a peptoid backbone. The diversity of product compds. is generated by the sequential addn. of substituted submonomers. The combinatorial library includes 10 or more, preferably 100 or more, and more preferably 1,000 or more distinct and different compds. The library includes each of the product

compds. in retrievable and analyzable amts. and preferably includes at least one biol. active compd. Methods of synthesizing the combinatorial libraries and assay devices produced using the libraries are disclosed, as is methodol. for screening for and obtaining biol. active cyclic org. compds.

IT **145251-26-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase prepn. of N-substituted glycine peptide combinatorial libraries and nitrogen heterocycle combinatorial libraries)

RN 145251-26-9 HCAPLUS

CN Glycinamide, N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N2-(2,2-diphenylethyl)- (9CI) (CA INDEX NAME)



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2002 ACS
AN 1997:151532 HCAPLUS
DN 126:157822
TI Synthesis of N-substituted oligomers as therapeutic agents
IN Zuckermann, Ronald N.; Goff, Dane A.; Ng, Simon; Spear, Kerry; Scott, Barbara O.; Sigmund, Aaron C.; Goldsmith, Richard A.; Marlowe, Charles K.; Pei, Yazhong; Richter, Lutz; Simon, Reyna
PA Chiron Corporation, USA
SO PCT Int. Appl., 175 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9640202	A1	19961219	WO 1996-US8832	19960604
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
	US 5877278	A	19990302	US 1995-487282	19950607
	AU 9662534	A1	19961230	AU 1996-62534	19960604
	EP 789577	A1	19970820	EP 1996-921278	19960604
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 11507049	T2	19990622	JP 1996-501317	19960604
PRAI	US 1995-487282	A	19950607		
	US 1992-950853	B2	19920924		
	US 1993-126539	B2	19930924		
	US 1994-277228	B2	19940718		

WO 1996-US8832 W 19960604

AB The title process comprises a solid-phase method for synthesis of N-substituted oligomers, e.g., poly(N-substituted glycines) having a wide variety of side-chain substituents, to obtain compds. of potential therapeutic interest. Each N-substituted glycine monomer is assembled from two sub-monomers directly on the solid support. Each cycle of monomer addn. consists of two steps: (1) acylation of a support-bound amine with an acylating agent contg. a group capable of nucleophilic displacement by -NH2, such as a haloacetic acid, and (2) introduction of the side-chain by nucleophilic displacement of the leaving group with a second submonomer such as a primary amine, alkoxyamine, semicarbazide, acyl hydrazide, carbazate or the like. Repetition of the two step cycle of acylation and displacement gives the desired oligomers. Combinatorial libraries are disclosed.

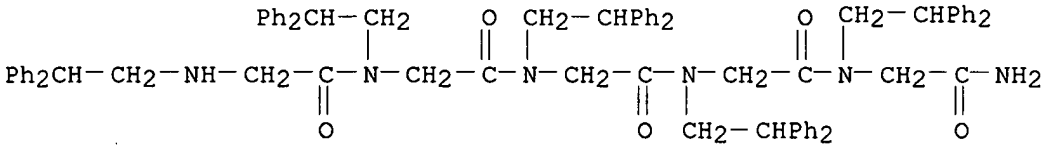
IT **145251-26-9P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of N-substituted oligomers as therapeutic agents)

RN 145251-26-9 HCAPLUS

CN Glycinamide, N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N2-(2,2-diphenylethyl)- (9CI) (CA INDEX NAME)



L38 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2002 ACS

AN 1995:346685 HCAPLUS

DN 122:133845

TI Synthesis of N-substituted oligomers (polyglycines).

IN Zuckermann, Ronald N.; Kerr, Janice M.; Kent, Stephen Brian Henry; Moos, Walter H.; Simon, Reyna J.; Goff, Dane A.

PA Chiron Corp., USA

SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9406451	A1	19940331	WO 1993-US9117	19930924
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 671928	A1	19950920	EP 1993-923131	19930924
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08501565	T2	19960220	JP 1993-508459	19930924
HU 72614	A2	19960528	HU 1995-855	19930924

	AU 679945	B2	19970717	AU 1993-52920	19930924
	BR 9307092	A	19990330	BR 1993-7092	19930924
	JP 2000239242	A2	20000905	JP 2000-38885	19930924
	NO 9500682	A	19950418	NO 1995-682	19950223
	FI 9501356	A	19950426	FI 1995-1356	19950322
PRAI	US 1992-950853	A	19920924		
	JP 1994-508459	A3	19930924		
	WO 1993-US9117	W	19930924		

OS MARPAT 122:133845

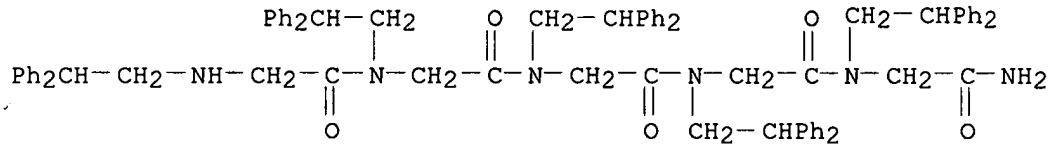
AB (N-substituted polyamide) monomers were prepd. by (1) acylating an amine bound to a substrate with a sub-monomer acylating agent contg. a leaving group to obtain a substrate-bound acylated amine having a leaving group, and (2) reaction of the latter with a second sub-monomer displacing agent contg. an amino group to carry out nucleophilic displacement of the leaving group added during acylation. Repetition of the process affords e.g. oligomeric N-substituted glycines (NSGs) having significant biol. activity and proteolytic stability. Automated synthesis technol. makes the oligomers attractive for the generation and rapid screening of diverse peptidomimetic libraries. Thus, penta(N-phenylglycine)amide was prepd. using an automated synthesizer in 83% yield using Rink amide polystyrene resin, PhNH2, and ICH2CO2H. Acylation reactions were carried out using diisopropylcarbodiimide in DMF; displacement reactions were carried out in Me2SO. Title compds. are claimed for use in diagnosis and therapy, specifically in antisense treatment.

IT **145251-26-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, by sub-monomer method)

RN 145251-26-9 HCAPLUS

CN Glycinamide, N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N2-(2,2-diphenylethyl)- (9CI) (CA INDEX NAME)



L38 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2002 ACS

AN 1993:39399 HCAPLUS

DN 118:39399

TI Efficient method for the preparation of peptoids [oligo(N-substituted glycines)] by submonomer solid-phase synthesis

AU Zuckermann, Ronald N.; Kerr, Janice M.; Kent, Stephen B. H.; Moos, Walter H.

CS Chiron Corp., Emeryville, CA, 94608, USA

SO J. Am. Chem. Soc. (1992), 114(26), 10646-7
CODEN: JACSAT; ISSN: 0002-7863

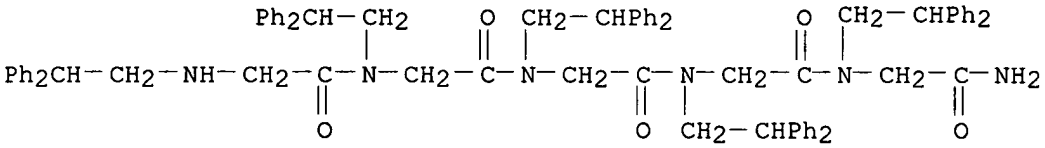
DT Journal

LA English

AB An efficient solid-phase method is presented here for the synthesis of oligomeric N-substituted glycines, or "peptoids", a recently described new class of mols. with potential for drug development. In this method, each N-substituted glycine (NSG) monomer is assembled from two "submonomers" in

the course of extending the oligomer chain. Each cycle of chain extension consists of two steps: acylation of a resin-bound secondary amine with a haloacetic acid, followed by introduction of the side-chain by nucleophilic displacement of the halogen (as a resin-bound .alpha.-haloacetamide) with an excess of primary amine. The method is general for a wide variety of side-chain substituents. Eight pentamers and one 25 mer oligo-NSGs were successfully synthesized by this method. The efficient synthesis of a wide variety of oligomeric NSGs using robotic synthesis technol., as presented here, makes these polymers attractive candidates for the generation and rapid screening of diverse peptidomimetic libraries.

IT **145251-26-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, by submonomer solid-phase synthesis)
RN 145251-26-9 HCAPLUS
CN Glycinamide, N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N2-(2,2-diphenylethyl)- (9CI) (CA INDEX NAME)

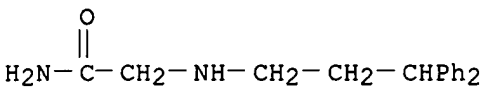


L38 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2002 ACS
AN 1982:35710 HCAPLUS
DN 96:35710
TI Glycinamides
IN Van Dorsser, William; Martens, Mark; Gillet, Claude; Niebes, Paul; Roncucci, Romeo; Roba, Joseph; Cordi, Alexis; Lambelin, Georges
PA Continental Pharma, Belg.
SO Belg., 57 pp.
CODEN: BEXXAL
DT Patent
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 885303	A1	19810319	BE 1980-202158	19800919

AB RR1NCHR2CONR3R4 (R = optionally alkyl, alkenyl, alkynyl, acyl; R1 = H, alkyl, acyl, alkoxycarbonyl, H2NCOCH2; R2 = H, alkyl, Ph; R3 = H, alkyl, Ph, halophenyl; R4 = H, alkyl) were prepd. Thus, Me(CH2)17NH2 was treated with ClCH2CONH2 to give Me(CH2)17NHCH2CONH2 which was anticonvulsant against bicucullin-induced convulsion in mice at 10-100 mg/kg orally.

IT **76991-05-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and anticonvulsant activity of)
RN 76991-05-4 HCAPLUS
CN Acetamide, 2-[(3,3-diphenylpropyl)amino]- (9CI) (CA INDEX NAME)



L38 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2002 ACS
AN 1981:139256 HCAPLUS
DN 94:139256
TI Glycinamide derivatives and their use
IN Roncucci, Romeo; Gillet, Claude; Cordi, Alexis; Martens, Mark; Roba, Joseph; Niebes, Paul; Lambelin, Georges; Van Dorsser, William
PA Continental Pharma, Belg.
SO Ger. Offen., 89 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3010599	A1	19801009	DE 1980-3010599	19800320
	DE 3010599	C2	19890302		
	DE 3050800	C2	19890622	DE 1980-3050800	19800320
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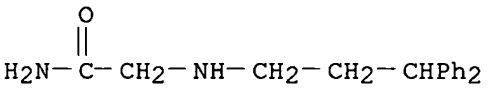
	DK 162714	B	19911202		
	DK 162714	C	19920421		
	SE 8002204	A	19800923	SE 1980-2204	19800321
	SE 453917	B	19880314		
	SE 453917	C	19880623		
	FI 8000900	A	19800923	FI 1980-900	19800321
	FI 82033	B	19900928		
	FI 82033	C	19910110		
	NO 8000830	A	19800923	NO 1980-830	19800321
	NO 157817	B	19880215		
	NO 157817	C	19880525		
	FR 2451913	A1	19801017	FR 1980-6390	19800321
	FR 2451913	B1	19840713		
	ES 490536	A1	19810416	ES 1980-490536	19800321
	ZA 8001682	A	19810826	ZA 1980-1682	19800321
	CH 645091	A	19840914	CH 1980-2253	19800321
	IL 59679	A1	19841130	IL 1980-59679	19800321
	AT 8001546	A	19860215	AT 1980-1546	19800321
	AT 381302	B	19860925		
	JP 55143944	A2	19801110	JP 1980-36806	19800322
	JP 63009491	B4	19880229		
	NL 8001721	A	19800924	NL 1980-1721	19800324
	NL 191508	B	19950418		
	NL 191508	C	19950821		
	AU 8056784	A1	19800925	AU 1980-56784	19800324
	AU 536499	B2	19840510		
	GB 2048852	A	19801217	GB 1980-9801	19800324
	GB 2048852	B2	19830330		
	CA 1184567	A1	19850326	CA 1980-348319	19800324
	AT 8402750	A	19900215	AT 1984-2750	19840828
	AT 391134	B	19900827		
	AT 8402751	A	19900815	AT 1984-2751	19840828
	AT 392271	B	19910225		

US 4639468 A 19870127 US 1985-768185 19850823
PRAI LU 1979-81068 19790322
LU 1979-81069 19790322
AT 1980-1546 19800321
US 1980-133102 19800324
US 1983-485756 19830421

AB The amides RNR1CHR2CONR3R4 [I, R = C9-18 alkyl, C5-18 alkenyl, C4-10 alkynyl, C4-10 acyl, C1-10 hydroxyalkyl, alkoxycarbonylalkyl, acetoxyalkyl, carboxyalkyl, phenoxyalkyl, (un)substituted phenylalkyl; R1 = H, C1-10 alkyl, C1-6 acyl, Bz, C1-4 alkoxycarbonyl, carboxamidomethyl; R2 = H, C1-3 alkyl, Ph; R3 = H, C1-8 alkyl, halophenyl; R4 = H, C1-8 alkyl] were prepd. Thus, Me(CH2)17NH2 was treated with ClCH2CONH2 to give Me(CH2)17NHCH2CONH2. I were tested for anticonvulsant activity in mice with bicuculline induced convulsions. The anticonvulsant ED50 of Me(CH2)4NHCH2CONH2 in mice was 11.2 mg/kg.

IT **76991-05-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and anticonvulsant activity of)

RN 76991-05-4 HCAPLUS
CN Acetamide, 2-[(3,3-diphenylpropyl)amino]- (9CI) (CA INDEX NAME)



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L17          5723 SEA FILE=REGISTRY ABB=ON  PLU=ON  3068.33/RID
L19          5124 SEA FILE=REGISTRY ABB=ON  PLU=ON  3691.3/RID
L20        118398 SEA FILE=REGISTRY ABB=ON  PLU=ON  L3 OR L7 OR L10 OR L17 OR
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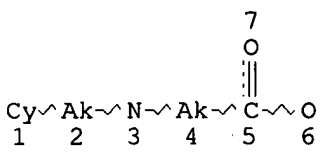


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CONNECT IS E2  RC AT   4
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GGCAT   IS PCY  UNS  AT   1
GGCAT   IS LOC  AT   2
GGCAT   IS LOC  AT   4
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   7

STEREO ATTRIBUTES: NONE
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L24            36 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L23
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                  NRS>1 AND N/ELS
L26               STR
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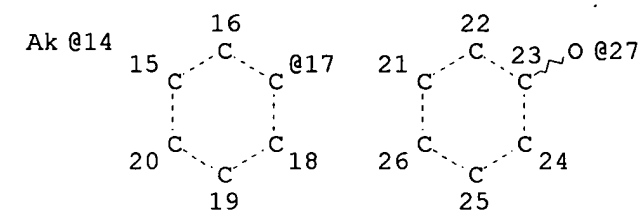

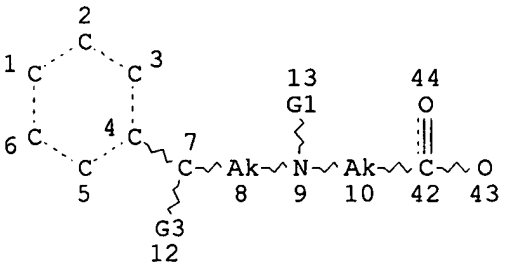


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VAR G1=H/14
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VAR G3=17/27
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 8
CONNECT IS E2 RC AT 10
CONNECT IS E1 RC AT 14
DEFAULT MLEVEL IS ATOM
GGCAT IS LIN LOC AT 8
GGCAT IS LIN LOC AT 10
GGCAT IS LIN LOC SAT AT 14
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 15 21 4
NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE
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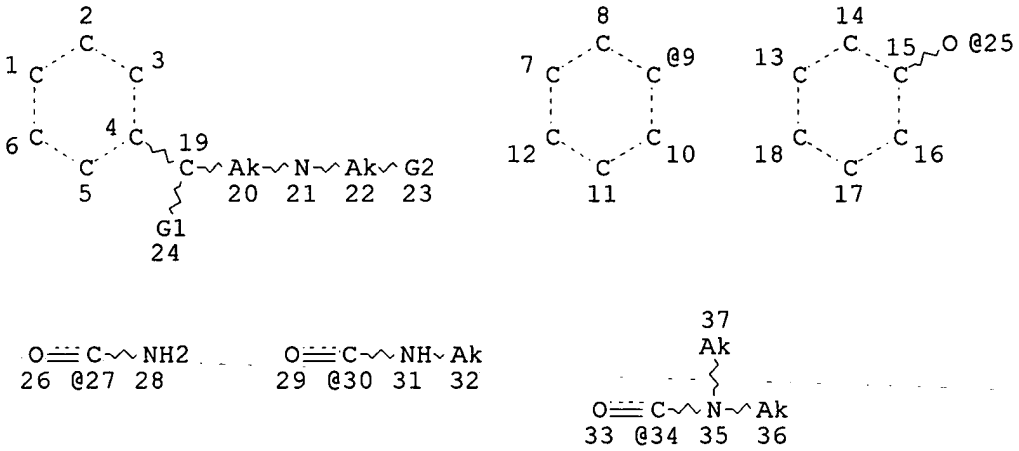
L28 26 SEA FILE=HCAPLUS ABB=ON PLU=ON L27
L34 STR



VAR G1=9/25
VAR G2=27/30/34/41
NODE ATTRIBUTES:
CONNECT IS E3 RC AT 19
CONNECT IS E2 RC AT 20
CONNECT IS E2 RC AT 22
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CONNECT IS E1 RC AT 37
DEFAULT MLEVEL IS ATOM
GGCAT IS LOC AT 20
GGCAT IS LOC AT 22
GGCAT IS LIN LOC SAT AT 32
GGCAT IS LIN LOC SAT AT 36

GGCAT IS LIN LOC SAT AT 37
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 1 7 13
NUMBER OF NODES IS 41

STEREO ATTRIBUTES: NONE
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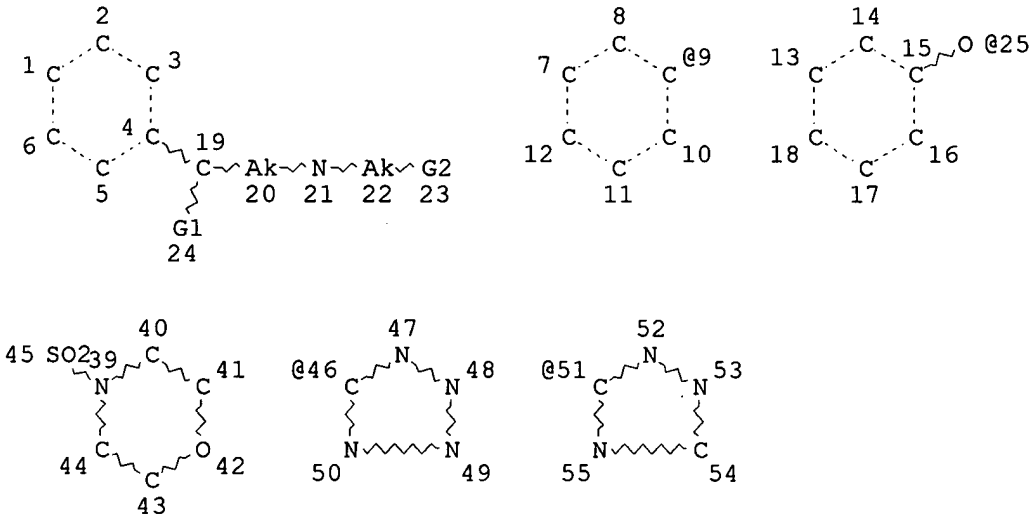
L37 11 SEA FILE=HCAPLUS ABB=ON PLU=ON L36
L41 STR



VAR G1=9/25
VAR G2=45/46/51
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 20
CONNECT IS E2 RC AT 22
DEFAULT MLEVEL IS ATOM
GGCAT IS LOC AT 20
GGCAT IS LOC AT 22
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 1 7 13 39 46 51
NUMBER OF NODES IS 42

STEREO ATTRIBUTES: NONE
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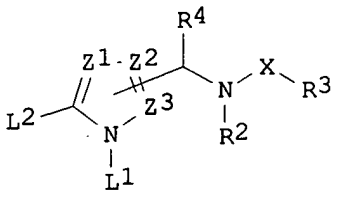
L44 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L43
L45 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L44 NOT (L24 OR L28 OR L37)

L45 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2002 ACS
AN 2001:380562 HCAPLUS
DN 134:366881
TI Preparation of triazoles as farnesyl transferase inhibitors
IN Saha, Ashis Kumar; End, David William; De Corte, Bart Lieven Daniel; Breslin, Henry Joseph; Liu, Li
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001036395	A1	20010525	WO 2000-EP11393	20001115

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 1999-165434 P 19991115
OS MARPAT 134:366881
GI



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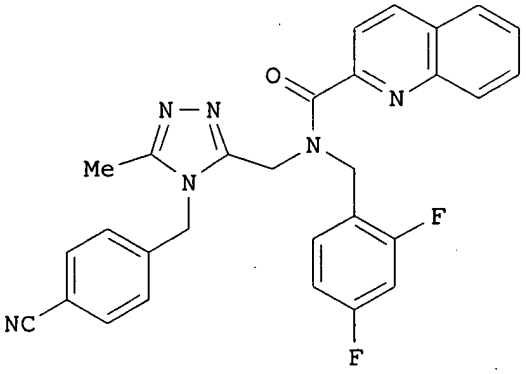

II

AB The title compds. [I; L1, L2 = YR1; R1 = H, CN, aryl, (un)substituted heterocyclyl; Z1Z2:Z3 = NN:CH, NCH:N, CHN:N; X = SO2, (CH2)n (n = 1-4), CO, etc.; R2 = aryl, (un)substituted cycloalkyl, etc.; R3 = aryl, NR5R6, (un)substituted heterocyclyl, etc.; R4 = H, aryl, cycloalkyl, etc.; R5, R6 = H, (un)substituted heterocyclyl, aryl, etc.] and their N-oxides, addn. salts, quaternary amines which are useful as novel class of peptidomimetic FTPase inhibitors and also show antiviral activity against RSV, were prepd. E.g., a 4-step synthesis of the triazole II which showed an inhibition of FTPase activity of at least 10% at 10-7 M, was given.

IT **340729-10-4P 340729-24-0P 340729-26-2P**
340731-20-6P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of triazoles as farnesyl transferase inhibitors)

RN 340729-10-4 HCAPLUS

CN Benzenesulfonamide, N-[[4-[(4-cyanophenyl)methyl]-4H-1,2,4-triazol-3-yl]methyl]-N-(3,3-diphenylpropyl)-4-fluoro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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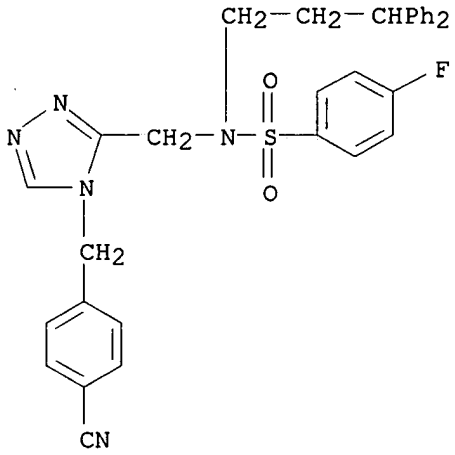
CRN 340729-09-1
CMF C32 H28 F N5 O2 S



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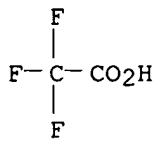
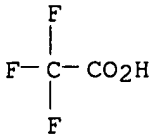
CRN 76-05-1
CMF C2 H F3 O2

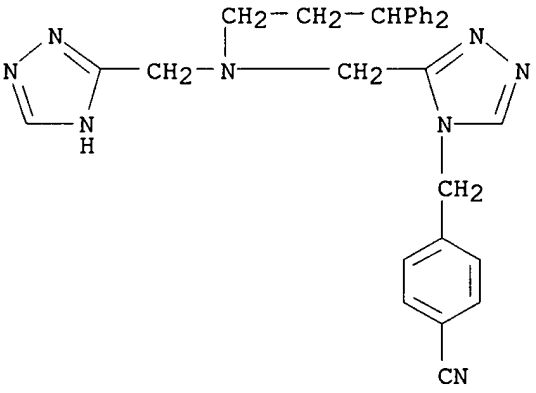




RN 340729-24-0 HCAPLUS
CN Benzonitrile, 4-[[3-[[(3,3-diphenylpropyl)(1H-1,2,4-triazol-3-ylmethyl)amino]methyl]-4H-1,2,4-triazol-4-yl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

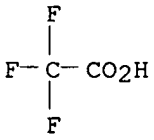
CM 1

CRN 340729-23-9
CMF C29 H28 N8



CM 2

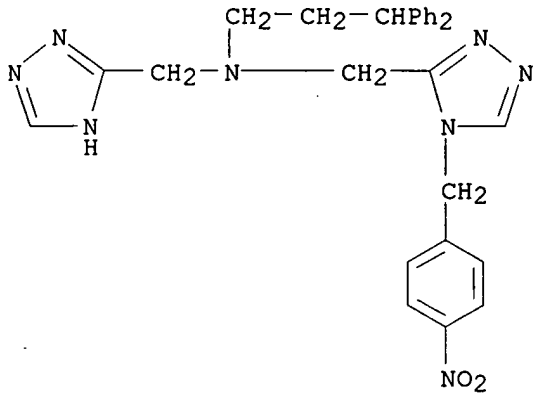
CRN 76-05-1
CMF C2 H F3 O2



RN 340729-26-2 HCAPLUS
CN 1H-1,2,4-Triazole-3-methanamine, N-(3,3-diphenylpropyl)-N-[[4-[(4-nitrophenyl)methyl]-4H-1,2,4-triazol-3-yl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

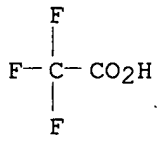
CM 1

CRN 340729-25-1
CMF C28 H28 N8 O2



CM 2

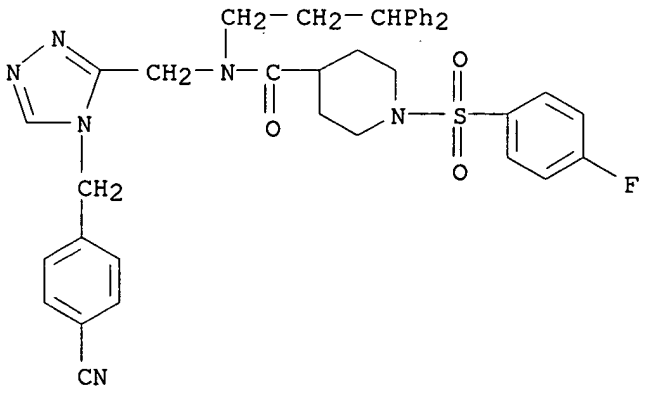
CRN 76-05-1
CMF C2 H F3 O2

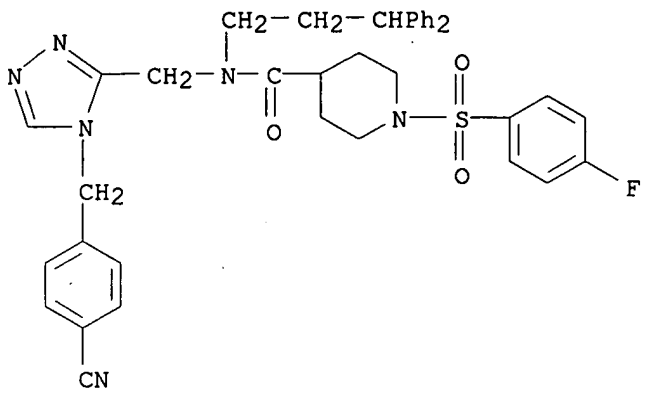


RN 340731-20-6 HCAPLUS
CN 4-Piperidinecarboxamide, N-[[4-[(4-cyanophenyl)methyl]-4H-1,2,4-triazol-3-yl]methyl]-N-(3,3-diphenylpropyl)-1-[(4-fluorophenyl)sulfonyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

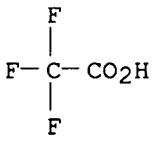
CRN 340731-19-3
CMF C38 H37 F N6 O3 S





CM 2

CRN 76-05-1
CMF C2 H F3 O2



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7         12480 SEA FILE=REGISTRY ABB=ON  PLU=ON  3068.4/RID
L10        24196 SEA FILE=REGISTRY ABB=ON  PLU=ON  2508.272/RID
L17         5723 SEA FILE=REGISTRY ABB=ON  PLU=ON  3068.33/RID
L19         5124 SEA FILE=REGISTRY ABB=ON  PLU=ON  3691.3/RID
L20       118398 SEA FILE=REGISTRY ABB=ON  PLU=ON  L3 OR L7 OR L10 OR L17 OR
                 L19
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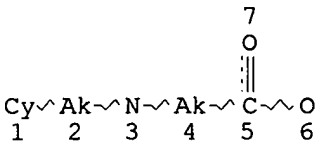


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GGCAT   IS PCY  UNS  AT   1
GGCAT   IS LOC  AT   2
GGCAT   IS LOC  AT   4
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   7

STEREO ATTRIBUTES: NONE
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L24           36 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L23
L25 (   7025704)SEA FILE=REGISTRY ABB=ON  PLU=ON  46.150.18/RID AND NR>1 AND
                 NRS>1 AND N/ELS
L26              STR
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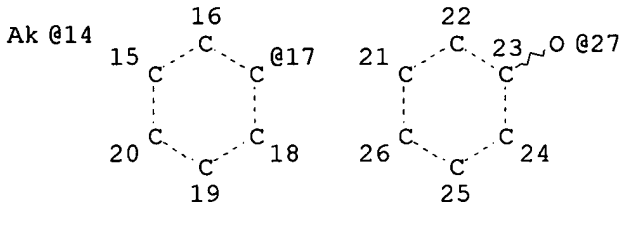
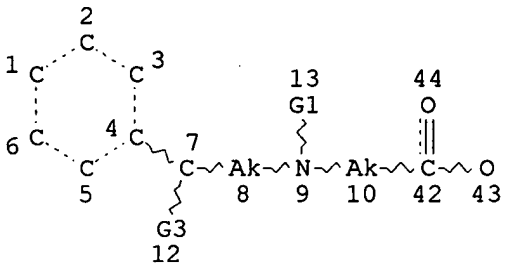
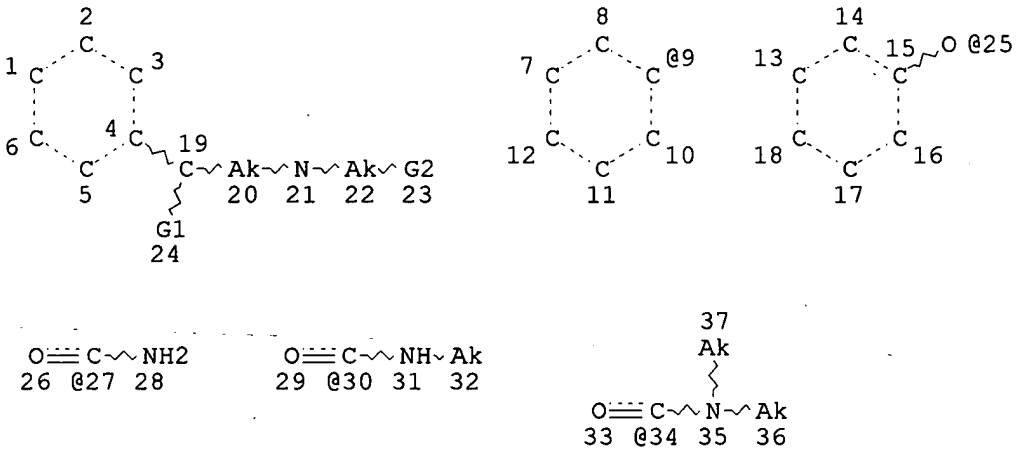




VAR G1=H/14
VAR G3=17/27
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 8
CONNECT IS E2 RC AT 10
CONNECT IS E1 RC AT 14
DEFAULT MLEVEL IS ATOM
GGCAT IS LIN LOC AT 8
GGCAT IS LIN LOC AT 10
GGCAT IS LIN LOC SAT AT 14
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 15 21 4
NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE
L27 (252) SEA FILE=REGISTRY SUB=L25 SSS FUL L26
L28 26 SEA FILE=HCAPLUS ABB=ON PLU=ON L27
L34 STR

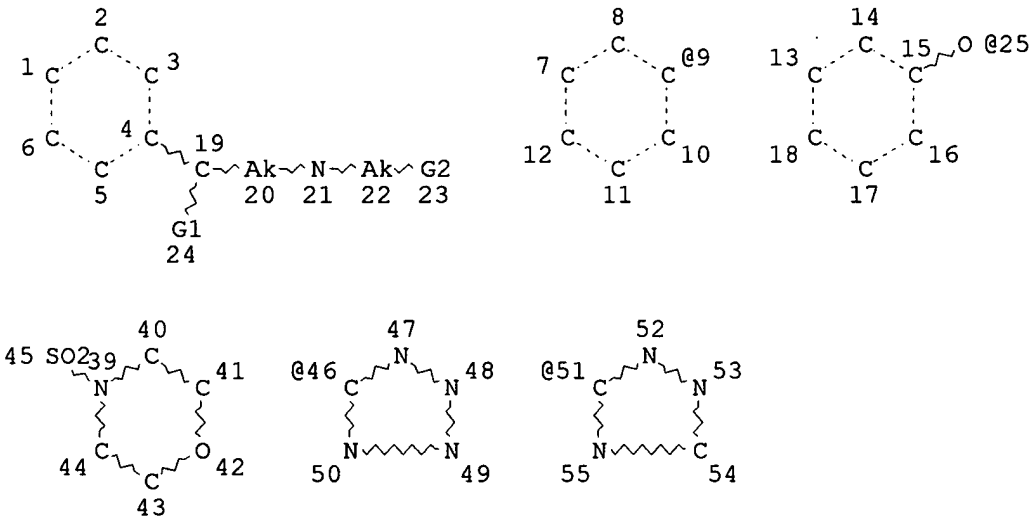


VAR G1=9/25
VAR G2=27/30/34/41
NODE ATTRIBUTES:
CONNECT IS E3 RC AT 19
CONNECT IS E2 RC AT 20
CONNECT IS E2 RC AT 22
CONNECT IS E1 RC AT 32
CONNECT IS E1 RC AT 36
CONNECT IS E1 RC AT 37
DEFAULT MLEVEL IS ATOM
GGCAT IS LOC AT 20
GGCAT IS LOC AT 22
GGCAT IS LIN LOC SAT AT 32

GGCAT IS LIN LOC SAT AT 36
GGCAT IS LIN LOC SAT AT 37
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 1 7 13
NUMBER OF NODES IS 41

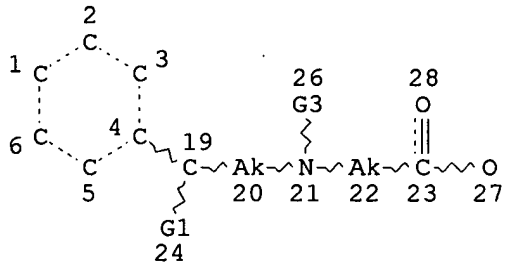
STEREO ATTRIBUTES: NONE
L36 12 SEA FILE=REGISTRY SSS FUL L34
L37 11 SEA FILE=HCAPLUS ABB=ON PLU=ON L36
L41 STR

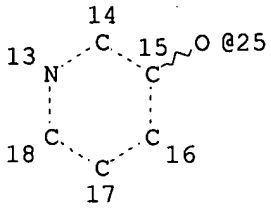


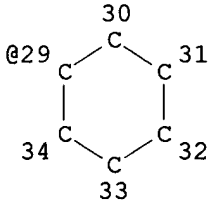
VAR G1=9/25
VAR G2=45/46/51
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 20
CONNECT IS E2 RC AT 22
DEFAULT MLEVEL IS ATOM
GGCAT IS LOC AT 20
GGCAT IS LOC AT 22
DEFAULT ECLEVEL IS LIMITED

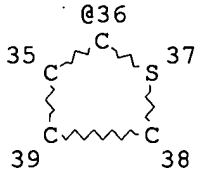
GRAPH ATTRIBUTES:
RSPEC 1 7 13 39 46 51
NUMBER OF NODES IS 42

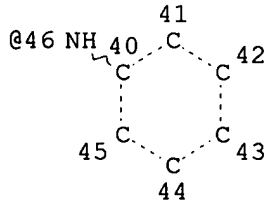
STEREO ATTRIBUTES: NONE
L43 10 SEA FILE=REGISTRY SSS FUL L41
L44 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L43
L55 STR

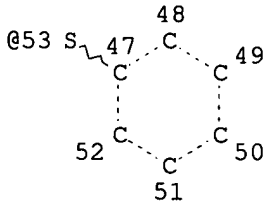


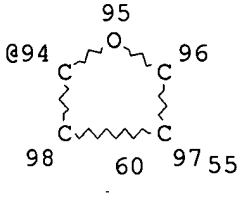










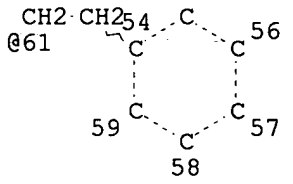


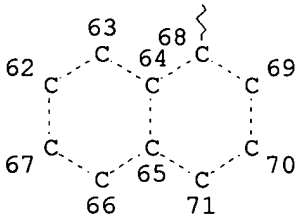
Ak @99

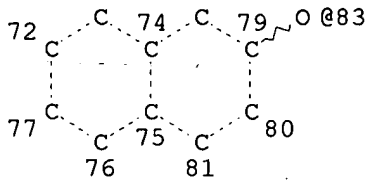
O @82

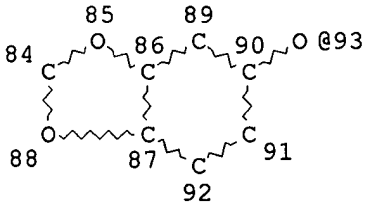
73 78

Page 1-A







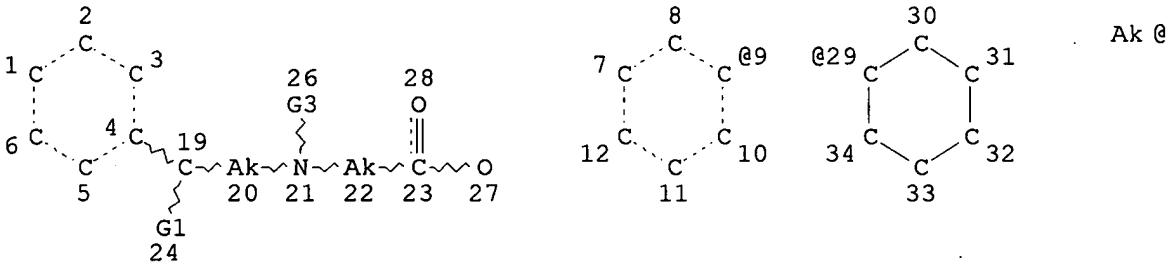


Page 2-A
VAR G1=94/93/83/82/61/53/29/46/25/36
VAR G3=H/99
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 20
CONNECT IS E2 RC AT 22
CONNECT IS E1 RC AT 99
DEFAULT MLEVEL IS ATOM

GGCAT IS LOC AT 20
GGCAT IS LOC AT 22
GGCAT IS LIN LOC SAT AT 99
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 1 13 29 35 40 47 62 72 84 94
NUMBER OF NODES IS 93

STEREO ATTRIBUTES: NONE
L57 37 SEA FILE=REGISTRY SSS FUL L55
L58 STR



Page 1-A

99

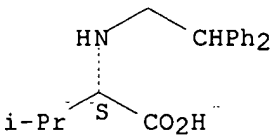
Page 1-B
VAR G1=9/29
VAR G3=H/99
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 20
CONNECT IS E2 RC AT 22
CONNECT IS E1 RC AT 99
DEFAULT MLEVEL IS ATOM
GGCAT IS LOC AT 20
GGCAT IS LOC AT 22
GGCAT IS LIN LOC SAT AT 99
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 1 7 29
NUMBER OF NODES IS 28

STEREO ATTRIBUTES: NONE
L60 85 SEA FILE=REGISTRY SSS FUL L58
L61 120 SEA FILE=REGISTRY ABB=ON PLU=ON L60 OR L57
L62 32 SEA FILE=HCAPLUS ABB=ON PLU=ON L61
L63 9 SEA FILE=HCAPLUS ABB=ON PLU=ON L62 NOT (L44 OR L24 OR L28 OR L37)

L63 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2002 ACS
AN 2000:364688 HCAPLUS
DN 133:164289
TI Utilization of Fukuyama's sulfonamide protecting group for the synthesis of N-substituted .alpha.-amino acids and derivatives
AU Lin, Xiaodong; Dorr, Hilary; Nuss, John M.
CS Chiron Corporation, Emeryville, CA, 94608, USA
SO Tetrahedron Letters (2000), 41(18), 3309-3313
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 133:164289
AB A novel and general route for the solid phase synthesis of N-substituted .alpha.-amino acids has been developed. This synthesis employs Fukuyama's 2-nitrobenzenesulfonamide protecting group for prepn. of secondary amines. The versatility of this methodol. is demonstrated by the facile synthesis of a trisubstituted diketopiperazine (DKP) skeleton.
IT **287918-79-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of N-substituted .alpha.-amino acids and derivs. using Fukuyama's sulfonamide protecting group)
RN 287918-79-0 HCAPLUS
CN L-Valine, N-(2,2-diphenylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

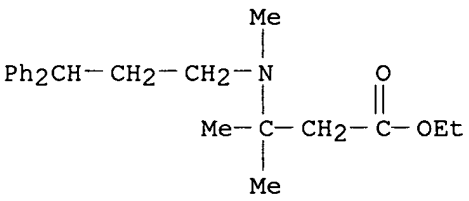
L63 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2002 ACS
AN 1998:396972 HCAPLUS
DN 129:136069
TI Asymmetric N-(3,3-diphenylpropyl)aminoalkyl esters of 4-aryl-2,6-dimethyl-1,4-dihydropyridine-3,5-dicarboxylic acids with antihypertensive activity
AU Leonardi, Amedeo; Motta, Gianni; Pennini, Renzo; Testa, Rodolfo; Sironi, Giorgio; Catto, Alberto; Cerri, Alberto; Zappa, Marco; Bianchi, Giorgio; Nardi, Dante
CS Pharmaceutical R&D Division, Medicinal Chemistry Department, Recordati S.p.A., Milan, 20148, Italy
SO Eur. J. Med. Chem. (1998), 33(5), 399-420
CODEN: EJMCA5; ISSN: 0223-5234
PB Editions Scientifiques et Medicales Elsevier
DT Journal
LA English
AB A series of asym. 4-aryl-1,4-dihydropyridine-3,5-dicarboxylates characterized by the presence of a 3,3-diphenylpropylamino moiety in one of the ester groups were synthesized. They exhibited remarkable antihypertensive activity in spontaneously hypertensive rats as well as

affinity for the 1,4-dihydropyridines binding site labeled by 3H-nitrendipine in the calcium channel. Introduction of this bulky and lipophilic amine confers to the whole series an elevated level of antihypertensive activity and a long duration of action, a structure-dependent modulation of the activity being found only in the subset characterized by the presence of a branched propylene bridge between the ester and the amino groups. The presence of the amino group is essential for oral activity. Out of this series, Rec 15/2375-lercanidipine was selected for clin. development and obtained marketing authorization as an antihypertensive in several countries.

IT **210579-43-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antihypertensive activity of (diphenylpropyl)aminoalkyl esters of aryldimethyldihydropyridinedicarboxylic acids)

RN 210579-43-4 HCAPLUS

CN Butanoic acid, 3-[(3,3-diphenylpropyl)methylamino]-3-methyl-, ethyl ester (9CI) (CA INDEX NAME)



L63 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2002 ACS

AN 1997:542420 HCAPLUS

DN 127:220648

TI Preparation of cyclic amic acid derivatives as protein-farnesyl transferase (PFT) inhibitors

IN Aoyama, Tetsuya; Kawakami, Kumiko; Arai, Sachie; Satoh, Toshihiko; Monden, Yoshiaki

PA Banyu Pharmaceutical Co., Ltd., Japan; Aoyama, Tetsuya; Kawakami, Kumiko; Arai, Sachie; Satoh, Toshihiko; Monden, Yoshiaki

SO PCT Int. Appl., 194 pp.
CODEN: PIXXD2

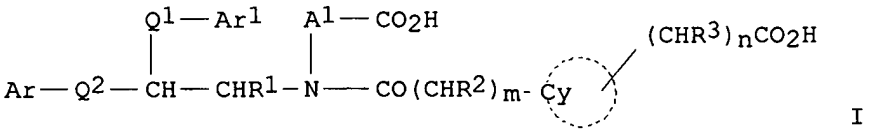
DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9729078	A1	19970814	WO 1997-JP303	19970207
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2244695	AA	19970814	CA 1997-2244695	19970207
AU 9716191	A1	19970828	AU 1997-16191	19970207
EP 882703	A1	19981209	EP 1997-902605	19970207

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI
US 6011174 A 20000104 US 1998-117534 19980804
PRAI JP 1996-45500 19960207
JP 1996-206673 19960717
WO 1997-JP303 19970207
OS MARPAT 127:220648
GI



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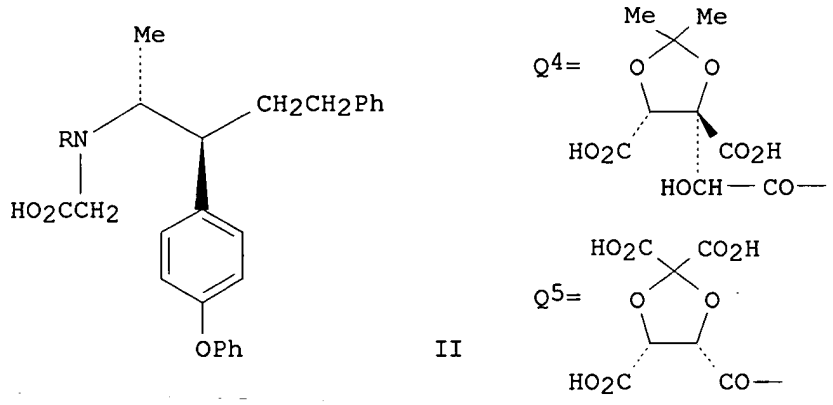


II

AB Compds. represented by general formula [I; Ar1 = aryl or heteroaryl; Ar = Ar3-Q3-Ar2-, Ar2; wherein Ar2, Ar3 = aryl, heteroaryl; Q3 = a single bond, oxygen, sulfur, methylene, vinylene, or a group represented by CO, NH, CO2, O2C, CH2CH2, OCH2, SCH2, CH2O, CH2S, NHCO, or CONH; Cy = aryl, heteroaryl, or an alicyclic group optionally having one or two oxygen atoms; A1 = C1-4 hydrocarbyl; Q1 = a single bond, a group represented by CH2O, OCH2, CH2S, or SCH2, or C1-6 hydrocarbyl; Q2 = a single bond or a group represented by (CH2)l or -(CH2)q-W-(CH2)p; R1 = lower alkyl; wherein l = an integer of 1 to 6; p, q = an integer of 0 to 3; R2, R3 = H, OH, or lower alkyl; W = oxygen, sulfur, vinylene, or ethynylene; m = an integer of 0 to 2; n = 0 or 1] or pharmacol. acceptable salts or esters thereof, which inhibits functional expression of cancer gene Ras protein by inhibiting PFT in vivo and exhibit antitumor activity, are prepd. An antitumor agent comprising these compds. as the active ingredients is claimed. These compds. also inhibit transfection of ras and thereby reactivation of HIV gene incorporated into host cells and are also useful as anti-HIV agents. Thus, N-(methoxycarbonylmethyl)-[(1R,2R)-1-methyl-2-(4-phenoxyphenyl)-4-phenylbutyl]amine (prepn. given) was condensed with di-Me 2-(1-acetoxycarboxymethyl)-2,3-O-isopropylidene-L-tartrate (prepn. given) using 2-chloro-1,3-dimethylimidazolium chloride in the presence of Et3N in CHCl3 at room temp. for 4 h followed by sapon. with a mixt. of 1 N aq. NaOH and THF to give the title compd. (II.3Na; R = Q4). II.3Na (R =

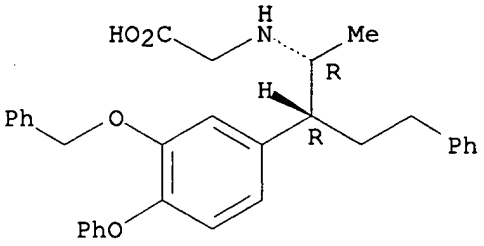
Q4) and II (R = Q5) showed IC50 of 0.16 and 0.075 nM, resp., against PFT and IC50 of 0.24 and 2.0 .mu.M, resp., against farnesylation of Ras protein in NIH3T3 cells expressing activated ras gene.

IT **194921-69-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclic amic acid derivs. as protein-farnesyl transferase (PFT) inhibitors for antitumor and anti-HIV agents)

RN 194921-69-2 HCAPLUS

CN Glycine, N-[(1R,2R)-1-methyl-2-[4-phenoxy-3-(phenylmethoxy)phenyl]-4-phenylbutyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

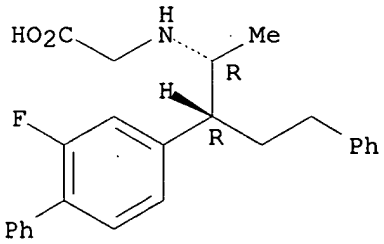


IT **194921-71-6P 194921-99-8P 194922-07-1P**
194922-09-3P 194922-11-7P 194922-13-9P
194922-15-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of cyclic amic acid derivs. as protein-farnesyl transferase (PFT) inhibitors for antitumor and anti-HIV agents)

RN 194921-71-6 HCAPLUS

CN Glycine, N-[(1R,2R)-2-(2-fluoro[1,1'-biphenyl]-4-yl)-1-methyl-4-phenylbutyl]- (9CI) (CA INDEX NAME)

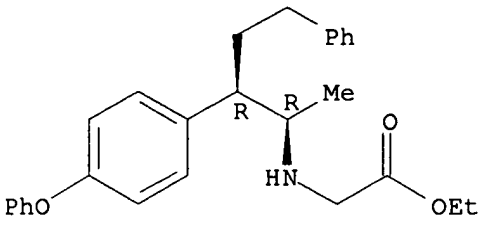
Absolute stereochemistry.



RN 194921-99-8 HCAPLUS

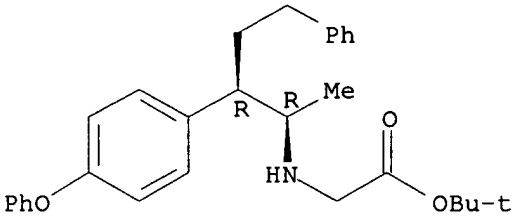
CN Glycine, N-[(1R,2R)-1-methyl-2-(4-phenoxyphenyl)-4-phenylbutyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



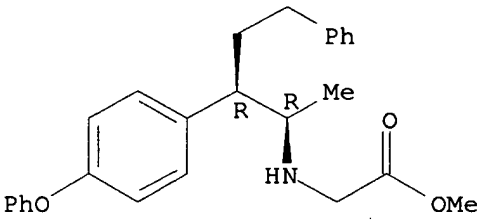
RN 194922-07-1 HCAPLUS
CN Glycine, N-[(1R,2R)-1-methyl-2-(4-phenoxyphenyl)-4-phenylbutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



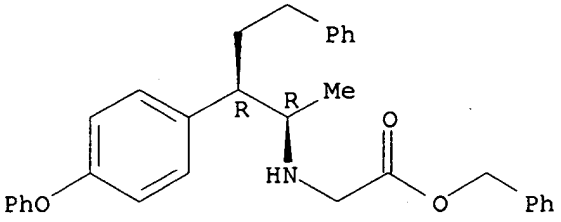
RN 194922-09-3 HCAPLUS
CN Glycine, N-[(1R,2R)-1-methyl-2-(4-phenoxyphenyl)-4-phenylbutyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



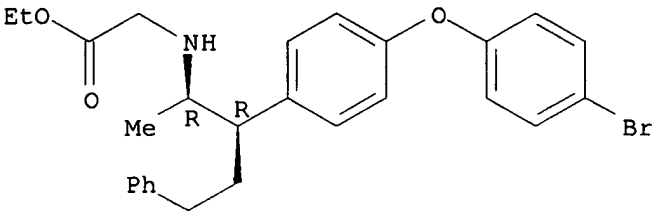
RN 194922-11-7 HCAPLUS
CN Glycine, N-[(1R,2R)-1-methyl-2-(4-phenoxyphenyl)-4-phenylbutyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



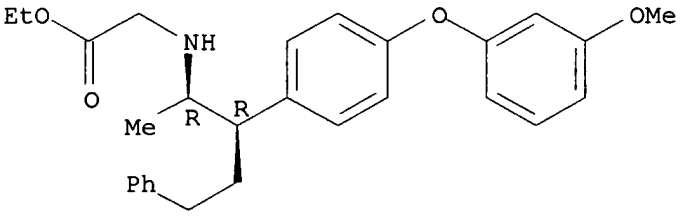
RN 194922-13-9 HCAPLUS
CN Glycine, N-[(1R,2R)-2-[4-(4-bromophenoxy)phenyl]-1-methyl-4-phenylbutyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 194922-15-1 HCAPLUS
CN Glycine, N-[(1R,2R)-2-[4-(3-methoxyphenoxy)phenyl]-1-methyl-4-phenylbutyl]-, ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L63 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2002 ACS
AN 1997:542419 HCAPLUS
DN 127:176275
TI Preparation of substituted amide derivatives as antitumor agents
IN Iwasawa, Yoshikazu; Aoyama, Tetsuya; Kawakami, Kumiko; Arai, Sachie; Satoh, Toshihiko; Monden, Yoshiaki
PA Banyu Pharmaceutical Co., Ltd., Japan; Iwasawa, Yoshikazu; Aoyama, Tetsuya; Kawakami, Kumiko; Arai, Sachie; Satoh, Toshihiko; Monden, Yoshiaki
SO PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9729077	A1	19970814	WO 1997-JP302	19970207

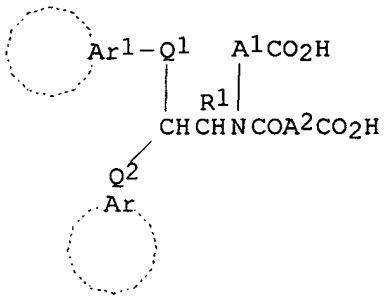
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,

MR, NE, SN, TD, TG

	AU 9716190	A1	19970828	AU 1997-16190	19970207
PRAI	JP 1996-45501		19960207		
	WO 1997-JP302		19970207		

OS MARPAT 127:176275

GI



I

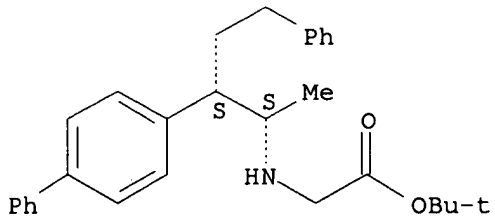
AB The title compds. I [Ar1 represents aryl or heterocyclic arom. group; Ar represents aryl, etc.; A1 represents C1 - C4 hydrocarbyl; A2 represents C1 - C8 hydrocarbyl; m is an integer of 1 to 6; Q1 represents a single bond, a group represented by CH2O, etc.; Q2 represents a single bond or a group represented by -(CH2)m, etc.; R1 represents lower alkyl] are prepd. (2R)-2-[N-(carboxymethyl)-N-[(1R,2R)-1-methyl-2-(4-phenoxyphenyl)-4-phenylbutyl]carbamoylmethyl]succinic acid in vitro showed IC50 of 0.2 nM (against protein farnesyl transferase) and IC50 of 2.9 .mu.M (against ras protein farnesylation).

IT **194018-70-7P 194018-74-1P 194018-79-6P 194018-80-9P 194018-84-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of substituted amide derivs. as antitumor agents)

RN 194018-70-7 HCAPLUS

CN Glycine, N-[(1R,2R)-2-[1,1'-biphenyl]-4-yl-1-methyl-4-phenylbutyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

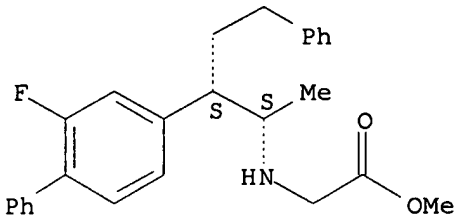
Relative stereochemistry.



RN 194018-74-1 HCAPLUS

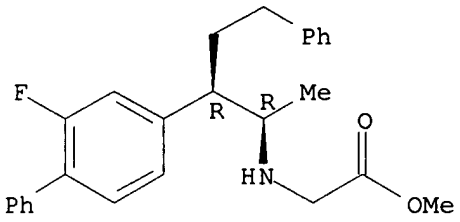
CN Glycine, N-[(1R,2R)-2-(2-fluoro[1,1'-biphenyl]-4-yl)-1-methyl-4-phenylbutyl]-, methyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



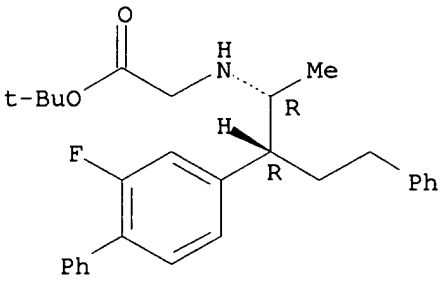
RN 194018-79-6 HCAPLUS
CN Glycine, N-[(1R,2R)-2-(2-fluoro[1,1'-biphenyl]-4-yl)-1-methyl-4-phenylbutyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



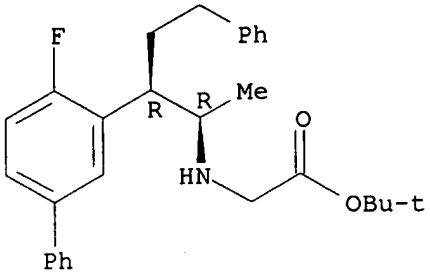
RN 194018-80-9 HCAPLUS
CN Glycine, N-[(1R,2R)-2-(2-fluoro[1,1'-biphenyl]-4-yl)-1-methyl-4-phenylbutyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 194018-84-3 HCAPLUS
CN Glycine, N-[(1R,2R)-2-(4-fluoro[1,1'-biphenyl]-3-yl)-1-methyl-4-phenylbutyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

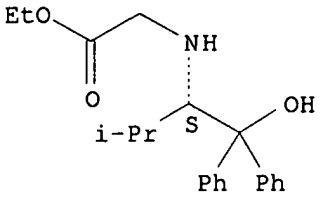


L63 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2002 ACS
AN 1990:515870 HCAPLUS
DN 113:115870
TI Optically active glycine derivatives, their preparation, and their use as additives for mobile phases in liquid chromatography for optical resolution
IN Yamato, Maki; Mitamura, Shuichi
PA Nippon Steel Corp., Japan; Nippon Steel Chemical Co., Ltd.
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02129158	A2	19900517	JP 1988-279322	19881107

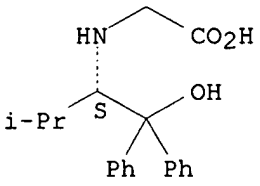
OS MARPAT 113:115870
AB Optically active compds. are resolved using optically active (R4O)CR2R3CHR1NR5CH2CO2R6 [I: R1 = (substituted) hydrocarbon; R2 - R6 = H, (substituted) hydrocarbon; R1 and R5 may be bonded to form a cyclic structure] or their salts, prepd. by treating optically active (R4O)CR2R3CHR1NR5H (II) with XCH2CO2R7, R7 = (substituted) hydrocarbon; X = halo]. Thus, Grignard reaction of 30.6 g L-valine Et ester-HCl with PhMgBr (prepd. in situ) at 0.degree. for 16 h gave 15.7 g (2S)-II (R1 = CHMe2, R2 = R3 = Ph, R4 = R5 = H), whose mixt. with BrCH2CO2Et and K2CO3 in toluene was stirred with 4-(dimethylamino)pyridine at 90.degree. for 48 h to give 47% (2S)-I (R1 = CHMe2, R2 = R3 = Ph, R4 = R5 = H, R6 = Et), whose hydrolysis by aq. NaOH gave (2S)-I (R1 = CHMe2, R2 = R3 = Ph, R4 = R5 = H, R6 = Na) (III). Then, DL-phenylalanine was resolved by liq. chromatog. on a ODS column using the mobile phase contg. III with a sepn. coeff. of 1.52.
IT **129189-88-4P 129189-89-5P 129189-90-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as additive for mobile phases of liq. chromatog.)
RN 129189-88-4 HCAPLUS
CN Glycine, N-[1-(hydroxydiphenylmethyl)-2-methylpropyl]-, ethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 129189-89-5 HCAPLUS
CN Glycine, N-[1-(hydroxydiphenylmethyl)-2-methylpropyl]-, monosodium salt, (S)- (9CI) (CA INDEX NAME)

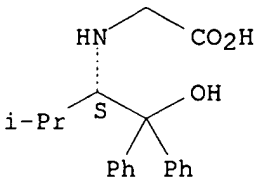
Absolute stereochemistry.



● Na

RN 129189-90-8 HCAPLUS
CN Glycine, N-[1-(hydroxydiphenylmethyl)-2-methylpropyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

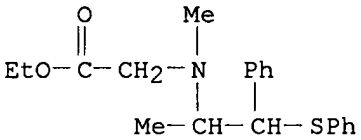


L63 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2002 ACS
AN 1981:41059 HCAPLUS
DN 94:41059
TI A rapid and simple screening method for methamphetamine in urine by radioimmunoassay using an iodine-125-labeled methamphetamine derivative
AU Inayama, Seiichi; Tokunaga, Yukiko; Hosoya, Eikichi; Nakadate, Teruo; Niwaguchi, Tetsukichi; Aoki, Kimiko; Saito, Shoji
CS Sch. Med., Keio Univ., Tokyo, 160, Japan
SO Chem. Pharm. Bull. (1980), 28(9), 2779-82
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
AB N-Carboxymethylmethamphetamine [76094-28-5], a deriv. of methamphetamine [537-46-2] was prepd. through a new synthetic pathway from dl-ephedrine [90-81-3]. Specific antiserum was obtained by immunization of rabbits

with the conjugate of N-carboxymethylmethamphetamine with bovine serum albumin. A radioimmunoassay procedure was established using this antibody (specific for methamphetamine) and a 125I-methamphetamine deriv. A high degree of specificity of the antibody was confirmed by testing for cross-reaction with several methamphetamine analogs, and the sensitivity was found to be 1 ng/tube. The present micro method using radioimmunoassay is highly sensitive, simple and may be useful as a micro-scale primary screening test for methamphetamine excreted in human urine, for forensic and medical purposes.

IT **63835-94-9P 63835-95-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

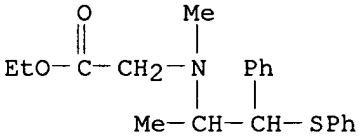
RN 63835-94-9 HCAPLUS
CN Glycine, N-methyl-N-[1-methyl-2-phenyl-2-(phenylthio)ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 63835-95-0 HCAPLUS
CN Platinate(2-), hexachloro-, (OC-6-11)-, dihydrogen, compd. with N-methyl-N-[1-methyl-2-phenyl-2-(phenylthio)ethyl]glycine ethyl ester (1:2) (9CI) (CA INDEX NAME)

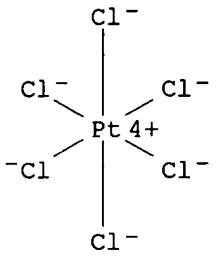
CM 1

CRN 63835-94-9
CMF C20 H25 N O2 S



CM 2

CRN 16941-12-1
CMF Cl6 Pt . 2 H
CCI CCS
CDES 7:OC-6-11

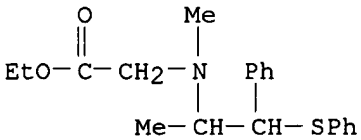


●2 H^+

L63 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2002 ACS
AN 1977:495271 HCAPLUS
DN 87:95271
TI Preparation of a specific antibody to methamphetamine
AU Inayama, Seiichi; Tokunaga, Yukiko; Hosoya, Eikichi; Nakadate, Teruo; Niwaguchi, Tetsukichi; Aoki, Kimiko; Saito, Shoji
CS Sch. Med., Keio Univ., Tokyo, Japan
SO Chem. Pharm. Bull. (1977), 25(4), 838-40
CODEN: CPBTAL
DT Journal
LA English
AB N-Carboxymethylmethamphetamine [63677-38-3] was synthesized directly from methamphetamine [537-46-2] and through a new route starting from dl-ephedrine [90-81-3]. This new hapten was conjugated with bovine serum albumin and the antiserum for methamphetamine was prepd. by immunization of rabbits with the conjugate. The prodn. of the antibody for methamphetamine was confirmed by the ring test and Ouchterlony method.
IT **63835-95-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and desulfuration of)
RN 63835-95-0 HCAPLUS
CN Platinate(2-), hexachloro-, (OC-6-11)-, dihydrogen, compd. with N-methyl-N-[1-methyl-2-phenyl-2-(phenylthio)ethyl]glycine ethyl ester (1:2) (9CI) (CA INDEX NAME)

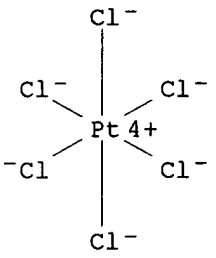
CM 1

CRN 63835-94-9
CMF C20 H25 N O2 S



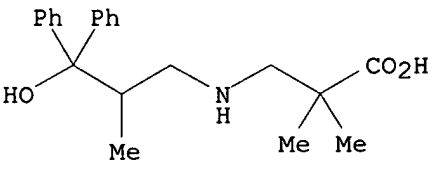
CM 2

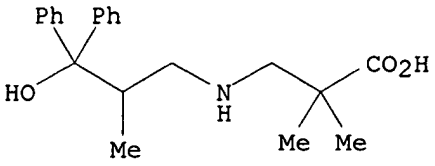
CRN 16941-12-1
CMF Cl6 Pt . 2 H
CCI CCS
CDES 7:OC-6-11



● 2 H^+

L63 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2002 ACS
AN 1972:42042 HCAPLUS
DN 76:42042
TI Central nervous system agents. 3. Structure-activity relation of a series of diphenylaminopropanols
AU Keasling, Huch H.; Moffett, Robert B.
CS Res. Lab., Upjohn Co., Kalamazoo, Mich., USA
SO J. Med. Chem. (1971), 14(11), 1106-12
CODEN: JMCMAR
DT Journal
LA English
AB A series of diphenylaminopropanols (I) was evaluated for acute toxicity, anticonvulsant, anorexigenic, and anticholinergic activity and effects on simple reflexes in mice. Therapeutic ratio was maximized in 1,1-diphenyl-2-methyl-3-aminopropanol-HCl (I, R = R1 = H X = Cl) [33887-05-7] and the l-isomer was more active, but was not more toxic. Anticholinergic activity was minimized by the presence of a 2-Me group. In general, tertiary amines were less active as anticonvulsants and on the simple reflexes, than primary or secondary amines. Structure-activity relations were discussed.
IT **35632-37-2**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. of)
RN 35632-37-2 HCAPLUS
CN Propanoic acid, 3-[(3-hydroxy-2-methyl-3,3-diphenylpropyl)amino]-2,2-dimethyl- (9CI) (CA INDEX NAME)





L63 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2002 ACS
AN 1972:42041 HCAPLUS
DN 76:42041
TI Central nervous system agents. 2. Synthesis of diphenyl primary and secondary aminopropanols
AU Moffett, Robert B.; Pickering, Timothy L.
CS Res. Lab., Upjohn Co., Kalamazoo, Mich., USA
SO J. Med. Chem. (1971), 14(11), 1100-6
CODEN: JMCMAR
DT Journal
LA English
AB A series of 1,1-diaryl-2-methyl-3-(substituted amino)propanols (I) were prepd. and tested for central nervous system activity in animals. The primary amines were prepd. by redn. of the corresponding nitriles and most of the secondary amines by reductive alkylation of the primary amines. A new cleavage of .beta.-amino esters by Grignard reagents was described. Dl-1,1-diphenyl-2-methyl-3-aminopropanol (I, R = R1 = H) [33860-73-0] was resolved into its optical isomers and the l-isomer when tested in man, showed antidepressant activity with undesirable side effects.
IT **35632-37-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 35632-37-2 HCAPLUS
CN Propanoic acid, 3-[(3-hydroxy-2-methyl-3,3-diphenylpropyl)amino]-2,2-dimethyl- (9CI) (CA INDEX NAME)